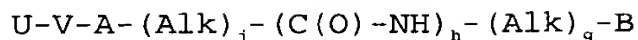


1. A compound of the formula



5 or a pharmaceutically acceptable salt thereof, wherein
g, h and j are each independently 0 or 1; provided when
h is 0, then g is 0;

each Alk is independently a alkyl radical;

10

U represents amidino, guanidino, $-(G\text{-alkyl})_k\text{-NH-R}_1$, $-(G\text{-alkyl})_k\text{-NH-C(Q)-R}_1$, $-(G\text{-alkyl})_k\text{-C(Q)-N(R)-R}_1$, $-(G\text{-alkyl})_k\text{-NH-C(Q)-N(R)-R}_1$, $-(G\text{-alkyl})_k\text{-NH-C(Q)-O-R}_1$ or $-(G\text{-alkyl})_k\text{-O-C(Q)-N(R)-R}_1$ radical; or U represents a hydroxyalkyl-G- radical which is optionally substituted by a cycloalkyl, aryl, heteroaryl or heterocyclyl, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R_2 ;

20

wherein k is 0 or 1;

G represents a bond, O, S or NH;

25 0 represents O, S, NH, N-CN or N-alkyl;

R is a radical of hydrogen or alkyl;

30 R₁ is a radical of alkyl, haloalkyl, R₂₁R₂₂N-alkyl, R₂₁O-alkyl, R₂₁S-alkyl, cycloalkyl, cycloalkyl-alkyl, aryl, aryl-alkyl, heteroaryl, heteroaryl-alkyl, heterocyclyl or heterocyclyl-alkyl, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R₂;

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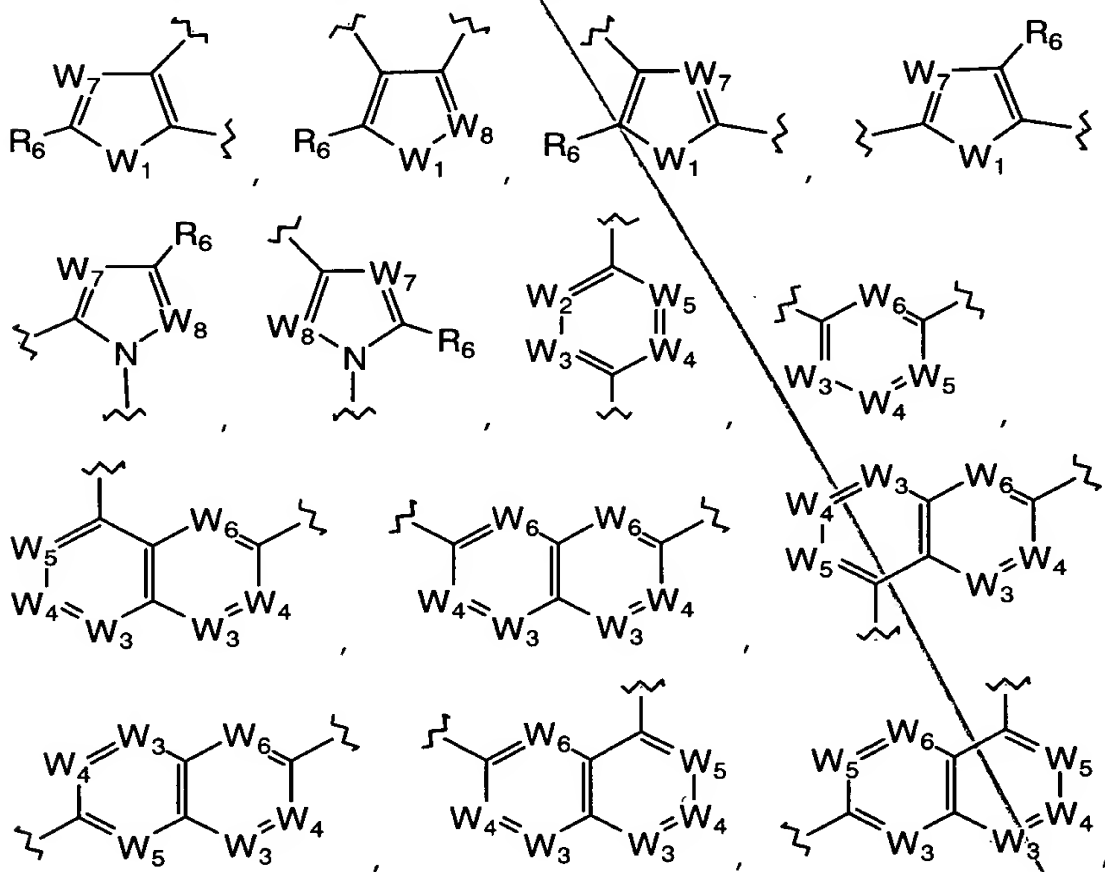
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a2

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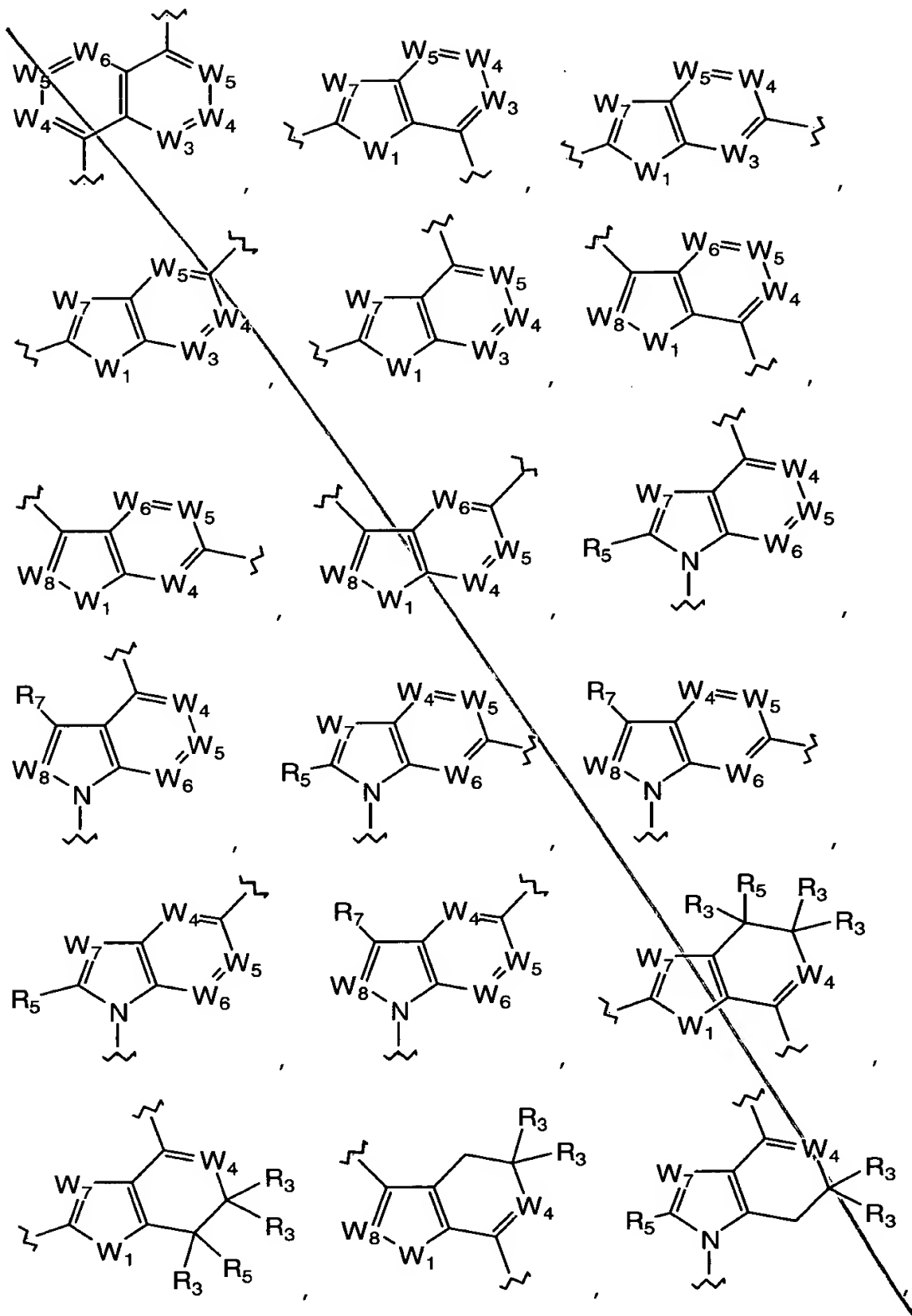
wherein R_{21} and R_{22} are each independently a radical of hydrogen, alkyl, haloalkyl, cycloalkyl, cycloalkyl-alkyl, aryl, aryl-alkyl, heteroaryl, heteroaryl-alkyl, heterocyclyl or heterocyclyl-alkyl, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R_2 ;

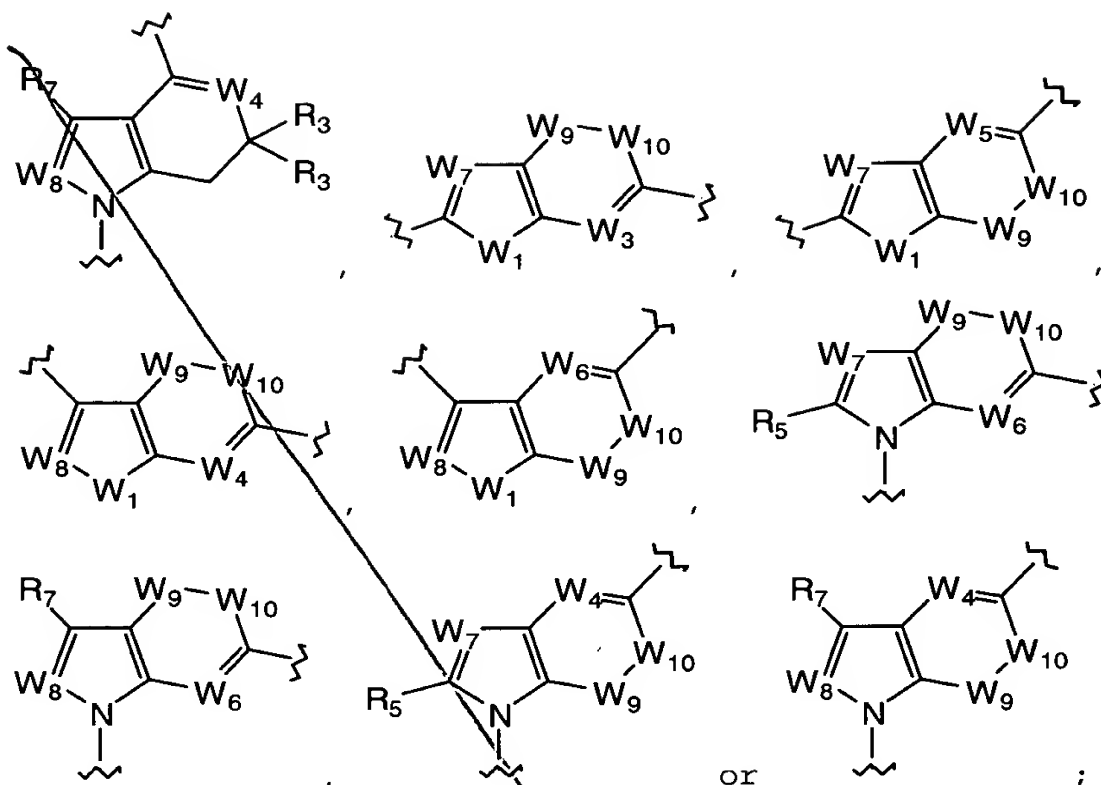
each R_2 is independently a halo, alkyl, alkoxy, alkylthio, haloalkyl, haloalkoxy, hydroxy, carboxy, cyano, azido, amidino, guanidino, nitro, amino, alkylamino or dialkylamino radical or two adjacent R_2 radicals on an aryl or heteroaryl radical represent a methylenedioxy, ethylenedioxy or propylenedioxy radical;

V represents a radical of formula



a²
cont





5 wherein W_1 is O, S or N- R_3 ; wherein each R_3 is independently a hydrogen or alkyl radical; W_7 is N or C- R_7 ; W_8 is N or C- R_5 ;

W_9 is $C(R_3)_2$ and W_{10} is W_1 ; or W_9 is CR_3R_5 and W_{10} is $C(R_3)_2$;

10

each W_2, W_3, W_4 and W_5 are independently N or C- R_4 ; provided the total number of cycloalkyl, aryl, heteroaryl, heterocyclyl, carboxy, $-C(O)-O-R_{19}$, $-C(O)-R_{19}$, $-C(O)-NH-R_{19}$, $-C(O)-N(R_{19})_2$ and $-R_{19}$ radicals in $W_2,$

15

W_3, W_4 and W_5 is 0-2;

each W_6 is independently N or C-H; provided that not more than two of W_2, W_3, W_4, W_5 and W_6 represent N; and

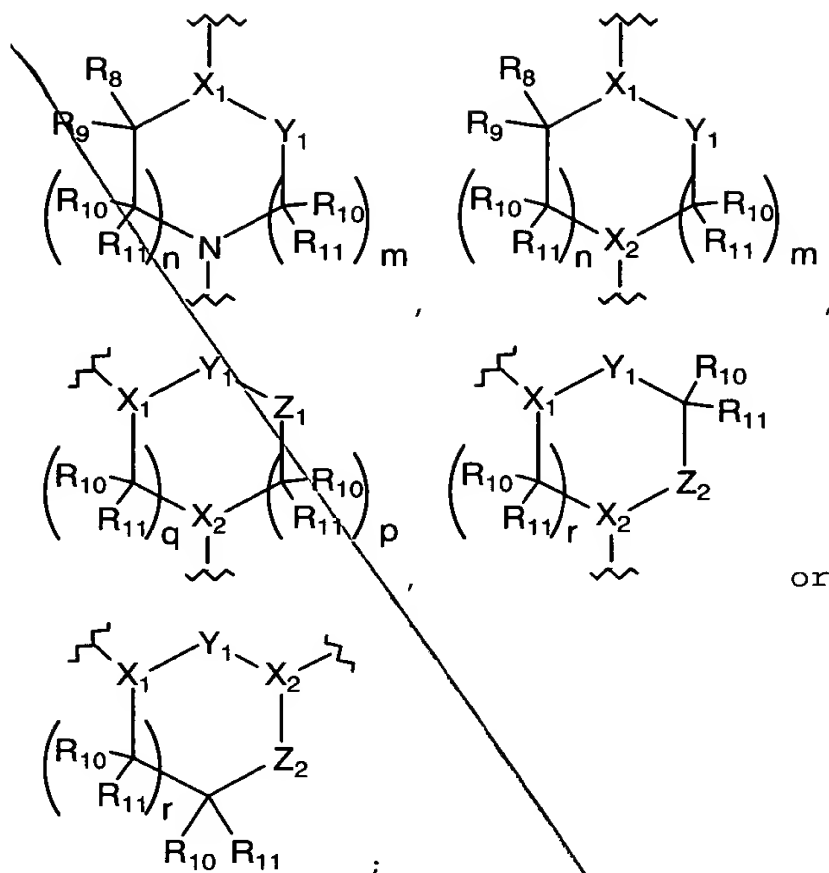
20

each R_4 is independently a hydrogen, halo, alkyl, alkoxy, alkylthio, haloalkyl, haloalkoxy, hydroxy,

aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R_2 ; or two adjacent R_4 radicals taken together with the carbon atoms to which they are attached represent a fused-phenyl or fused-heteroaryl of 5-6 ring members, wherein the phenyl and heteroaryl radicals are optionally substituted by 1-3 radicals of R_3 ;

R₅, R₆ and R₇ are each independently a hydrogen, halo, alkyl, alkoxy, alkylthio, haloalkyl, haloalkoxy, hydroxy or cyano radical; or R₅ and R₆ or R₆ and R₇ taken together with the carbon atoms to which they are attached represent a fused-phenyl or fused-heteroaryl of 6 ring members, wherein the phenyl and heteroaryl radicals are optionally substituted by 1-3 radicals of R₂; or R₃ and R₆ taken together with the carbon atoms to which they are attached represent a fused-heteroaryl of 6 ring members optionally substituted by 1-3 radicals of R₂;

25 A represents a radical of formula



5 wherein X_1 is N or C-H;

X_2 is C-H, C-alkyl, a spirocycloalkyl or spiroheterocyclyl radical; wherein the spirocycloalkyl and spiroheterocyclyl radicals are optionally substituted by an oxo or thiooxo radical and 1-2 radicals of alkyl, haloalkyl, hydroxy, alkoxy or haloalkoxy;

Y_1 is -C(O)-, -C(S)-, -S(O)- or -S(O)₂-;

Z_1 is O or N-R₁₂;

Z_2 is O, S or N-R₁₂;

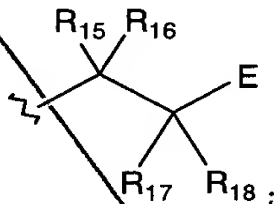
A² cont
 n and m are each independently 0, 1 or 2, provided $n + m = 1, 2, 3$ or 4;

p and q are each independently 0, 1 or 2, provided $p + q = 1, 2$ or 3;

r is 1 or 2;

R_8, R_9, R_{10}, R_{11} and R_{12} are each independently a hydrogen or alkyl radical; or $-CR_8R_9-$ represents a $-C(O)-$;

B represents a radical of formula



wherein (a) R_{15} is a hydrogen or alkyl radical; and R_{17} is (1) an aryl, heteroaryl, $-NH-C(O)-R_{19}$, $-C(O)-NH-R_{19}$, $-NH-C(O)-NH-R_{19}$, $-O-C(O)-NH-R_{19}$, $-NH-C(O)-O-R_{19}$, $-S(O)_2-R_{19}$, $-NH-S(O)_2-R_{19}$, $-S(O)_2-NH-R_{19}$ or $-NH-S(O)_2-NH-R_{19}$ radical, or (2) an alkyl radical substituted by a radical of aryl, heteroaryl, $-NH-C(O)-R_{19}$, $-C(O)-NH-R_{19}$, $-NH-C(O)-NH-R_{19}$, $-O-C(O)-NH-R_{19}$, $-NH-C(O)-O-R_{19}$, $-S(O)_2-R_{19}$, $-NH-S(O)_2-R_{19}$, $-S(O)_2-NH-R_{19}$ or $-NH-S(O)_2-NH-R_{19}$; wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of R_2 ; or

(b) R_{17} is a hydrogen or alkyl radical; and R_{15} is (1) an aryl, heteroaryl, cycloalkyl, heterocyclyl, $-NH-C(O)-R_{19}$, $-C(O)-NH-R_{19}$, $-NH-C(O)-NH-R_{19}$, $-O-C(O)-NH-R_{19}$, $-NH-C(O)-O-R_{19}$, $-S(O)_2-R_{19}$, $-NH-S(O)_2-R_{19}$, $-S(O)_2-NH-R_{19}$ or $-NH-S(O)_2-NH-R_{19}$ radical, or (2) an alkyl radical substituted by a radical of aryl, heteroaryl, cycloalkyl, heterocyclyl, $-NH-C(O)-R_{19}$, $-C(O)-NH-R_{19}$, $-NH-C(O)-NH-R_{19}$, $-O-C(O)-NH-R_{19}$, $-NH-C(O)-O-R_{19}$, $-S(O)_2-R_{19}$

Q² cont

R_{19} , $-\text{NH}-\text{S}(\text{O})_2-R_{19}$, $-\text{S}(\text{O})_2-\text{NH}-R_{19}$ or $-\text{NH}-\text{S}(\text{O})_2-\text{NH}-R_{19}$ radical; wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R_2 ;

5

provided that when a nitrogen atom is attached to the carbon atom to which R_{15} is attached, then R_{15} is (1) an aryl, heteroaryl, cycloalkyl, heterocyclyl or $-\text{C}(\text{O})-\text{NH}-R_{19}$ radical, or (2) an alkyl radical substituted by a radical of aryl, heteroaryl, cycloalkyl, heterocyclyl, $-\text{NH}-\text{C}(\text{O})-R_{19}$, $-\text{C}(\text{O})-\text{NH}-R_{19}$, $-\text{NH}-\text{C}(\text{O})-\text{NH}-R_{19}$, $-\text{O}-\text{C}(\text{O})-\text{NH}-R_{19}$, $-\text{NH}-\text{C}(\text{O})-\text{O}-R_{19}$, $-\text{S}(\text{O})_2-R_{19}$, $-\text{NH}-\text{S}(\text{O})_2-R_{19}$, $-\text{S}(\text{O})_2-\text{NH}-R_{19}$ or $-\text{NH}-\text{S}(\text{O})_2-\text{NH}-R_{19}$;

10

15

wherein R_{19} is a alkyl, cycloalkyl, cycloalkyl-alkyl, aryl, aryl-alkyl, heteroaryl, heteroaryl-alkyl, heterocyclyl or heterocyclyl-alkyl, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R_2 ;

20

R_{16} and R_{18} are each independently a hydrogen or alkyl radical; and

25

E is a radical of carboxy, amido, tetrazolyl, $-\text{C}(\text{O})-\text{O}-R_{20}$, $-\text{C}(\text{O})-\text{NH}-R_{20}$, $-\text{C}(\text{O})-\text{NH}-\text{S}(\text{O})-R_{20}$, $-\text{C}(\text{O})-\text{NH}-\text{S}(\text{O})_2-R_{20}$ or $-\text{C}(\text{O})-\text{NH}-\text{C}(\text{O})-R_{20}$;

30

wherein R_{20} is an alkyl, cycloalkyl, aryl, heteroaryl or heterocyclyl radical or an alkyl radical substituted by 1-3 radicals of halo, hydroxy, carboxy, amino, cycloalkyl, aryl, heteroaryl or heterocyclyl, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R_2 ; and

35

*P²
cont*

provided that when U represents amidino, guanidino, $-C(Q)-NH-R_1$ or $-NH-C(Q)-NH-R_1$ radical, wherein Q represents NH, N-CN or N-alkyl, then at least one of g, h or j is 1.

5

2. The compound of Claim 1 or a pharmaceutically acceptable salt thereof, wherein

10 each Alk is independently a C_1-C_{12} alkyl radical;

*Sub
B2*

U represents amidino, guanidino, $-(G-(C_1-C_8 \text{ alkyl}))_k-NH-R_1$, $-(G-(C_1-C_8 \text{ alkyl}))_k-NH-C(Q)-R_1$, $-(G-(C_1-C_8 \text{ alkyl}))_k-C(Q)-N(R)-R_1$, $-(G-(C_1-C_8 \text{ alkyl}))_k-NH-C(Q)-N(R)-R_1$, $-(G-(C_1-C_8 \text{ alkyl}))_k-NH-C(Q)-O-R_1$ or $-(G-(C_1-C_8 \text{ alkyl}))_k-O-C(Q)-N(R)-R_1$ radical; or U represents a hydroxy(C_1-C_{12} alkyl)-G- radical which is optionally substituted by a C_3-C_8 cycloalkyl, aryl, heteroaryl of 5-10 ring members or heterocyclyl of 5-8 ring members, wherein the

15 C_1-C_8 alkyl), C_3-C_8 cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R_2 ;

20

Q represents O, S, NH, N-CN or $N-(C_1-C_8 \text{ alkyl})$;

25 R is a radical of hydrogen or C_1-C_8 alkyl;

R_1 is a radical of C_1-C_8 alkyl, halo(C_1-C_8 alkyl) of 1-7 halo radicals, $R_{21}R_{22}N-(C_1-C_8 \text{ alkyl})$, $R_{21}O-(C_1-C_8 \text{ alkyl})$, $R_{21}S-(C_1-C_8 \text{ alkyl})$, C_3-C_8 cycloalkyl, C_3-C_8 cycloalkyl(C_1-C_8 alkyl), aryl, aryl(C_1-C_8 alkyl), heteroaryl of 5-10 ring members, heteroaryl(C_1-C_8 alkyl) of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl(C_1-C_8 alkyl) of 5-8 ring members, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are

30 optionally substituted by 1-3 radicals of R_2 ;

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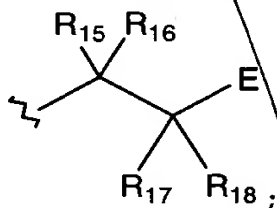
of 5-6 ring members, wherein the phenyl and heteroaryl radicals are optionally substituted by 1-3 radicals of R_2 ;

- 5 R_5 , R_6 and R_7 are each independently a hydrogen, halo, C_1-C_6 alkyl, C_1-C_6 alkoxy, C_1-C_6 alkylthio, halo(C_1-C_4 alkyl) of 1-5 halo radicals, halo(C_1-C_4 alkoxy) of 1-5 halo radicals, hydroxy or cyano radical; or R_5 and R_6 or R_6 and R_7 taken together with the carbon atoms to which they are attached represent a fused-phenyl or fused-heteroaryl of 6 ring members, wherein the phenyl and heteroaryl radicals are optionally substituted by 1-3 radicals of R_2 ; or R_3 and R_6 taken together with the carbon atoms to which they are attached represent a fused-heteroaryl of 6 ring members optionally substituted by 1-3 radicals of R_2 ;

- 20 X_2 is C-H, C-(C_1-C_4 alkyl), a C_3-C_8 spirocycloalkyl or spiroheterocyclyl of 5-8 ring members radical; wherein the spirocycloalkyl and spiroheterocyclyl radicals are optionally substituted by an oxo or thiooxo radical and 1-2 radicals of C_1-C_6 alkyl, halo(C_1-C_4 alkyl) of 1-5 halo radicals, hydroxy, C_1-C_6 alkoxy or halo(C_1-C_4 alkoxy) of 1-5 halo radicals;

- 25 R_8 , R_9 , R_{10} , R_{11} and R_{12} are each independently a hydrogen or C_1-C_6 alkyl radical; or $-CR_8R_9-$ represents a $-C(O)-$;

B represents a radical of formula



- 30 wherein (a) R_{15} is a hydrogen or C_1-C_6 alkyl radical; and R_{17} is (1) an aryl, heteroaryl of 5-10 ring members, -

Sub B2
5 NH-C(O)-R₁₉, -C(O)-NH-R₁₉, -NH-C(O)-NH-R₁₉, -O-C(O)-NH-R₁₉,
-NH-C(O)-O-R₁₉, -S(O)₂-R₁₉, -NH-S(O)₂-R₁₉, -S(O)₂-NH-R₁₉ or
-NH-S(O)₂-NH-R₁₉ radical, or (2) an C₁-C₆ alkyl radical
substituted by a radical of aryl, heteroaryl of 5-10
ring members, -NH-C(O)-R₁₉, -C(O)-NH-R₁₉, -NH-C(O)-NH-R₁₉,
-O-C(O)-NH-R₁₉, -NH-C(O)-O-R₁₉, -S(O)₂-R₁₉, -NH-S(O)₂-R₁₉,
-S(O)₂-NH-R₁₉ or -NH-S(O)₂-NH-R₁₉; wherein the aryl and
heteroaryl radicals are optionally substituted by 1-3
radicals of R₂; or

10 (b) R₁₇ is a hydrogen or C₁-C₆ alkyl radical; and R₁₅ is
(1) an aryl, heteroaryl of 5-10 ring members, C₃-C₈
cycloalkyl, heterocyclyl of 5-8 ring members, -NH-C(O)-
R₁₉, -C(O)-NH-R₁₉, -NH-C(O)-NH-R₁₉, -O-C(O)-NH-R₁₉, -NH-
15 C(O)-O-R₁₉, -S(O)₂-R₁₉, -NH-S(O)₂-R₁₉, -S(O)₂-NH-R₁₉ or -NH-
S(O)₂-NH-R₁₉ radical, or (2) an C₁-C₄ alkyl radical
substituted by a radical of aryl, heteroaryl of 5-10
ring members, C₃-C₈ cycloalkyl, heterocyclyl of 5-8 ring
members, -NH-C(O)-R₁₉, -C(O)-NH-R₁₉, -NH-C(O)-NH-R₁₉, -O-
20 C(O)-NH-R₁₉, -NH-C(O)-O-R₁₉, -S(O)₂-R₁₉, -NH-S(O)₂-R₁₉,
-S(O)₂-NH-R₁₉ or -NH-S(O)₂-NH-R₁₉ radical; wherein the
cycloalkyl, aryl, heteroaryl and heterocyclyl radicals
are optionally substituted by 1-3 radicals of R₂;

25 provided that when a nitrogen atom is attached to the
carbon atom to which R₁₅ is attached, then R₁₅ is (1) an
aryl, heteroaryl, cycloalkyl, heterocyclyl or -C(O)-NH-
R₁₉ radical, or (2) an alkyl radical substituted by a
radical of aryl, heteroaryl, cycloalkyl, heterocyclyl,
30 -NH-C(O)-R₁₉, -C(O)-NH-R₁₉, -NH-C(O)-NH-R₁₉, -O-C(O)-NH-
R₁₉, -NH-C(O)-O-R₁₉, -S(O)₂-R₁₉, -NH-S(O)₂-R₁₉, -S(O)₂-NH-R₁₉
or -NH-S(O)₂-NH-R₁₉;

wherein R₁₉ is a C₁-C₆ alkyl, C₃-C₈ cycloalkyl, C₃-C₈
35 cycloalkyl(C₁-C₆ alkyl), aryl, aryl(C₁-C₆ alkyl),
heteroaryl of 5-10 ring members, heteroaryl(C₁-C₆ alkyl)

of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl(C₁-C₆ alkyl) of 5-8 ring members, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R₂;

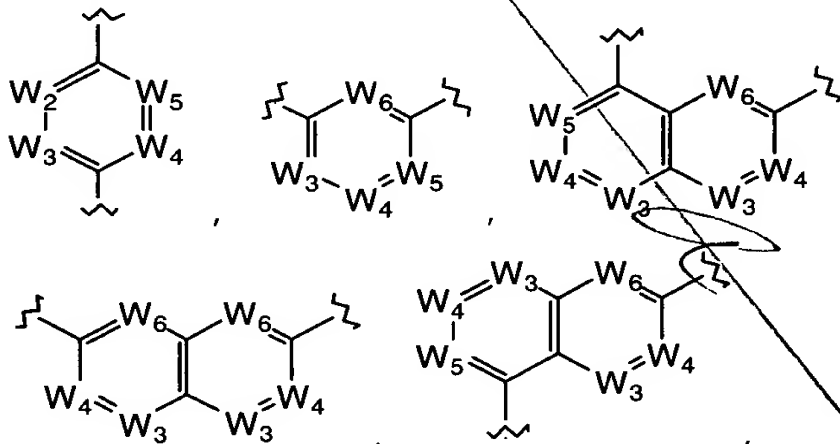
R₁₆ and R₁₈ are each independently a hydrogen or C₁-C₆ alkyl radical; and

- 10 R₂₀ is a C₁-C₆ alkyl, C₃-C₈ cycloalkyl, aryl, heteroaryl of 5-10 ring members or heterocyclyl of 5-8 ring members radical or a C₁-C₆ alkyl radical substituted by 1-3 radicals of halo, hydroxy, carboxy, amino, C₃-C₈ cycloalkyl, aryl, heteroaryl of 5-10 ring members or
- 15 heterocyclyl of 5-8 ring members, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R₂.

- 20 3. The compound of Claim 2 or a pharmaceutically acceptable salt thereof, wherein

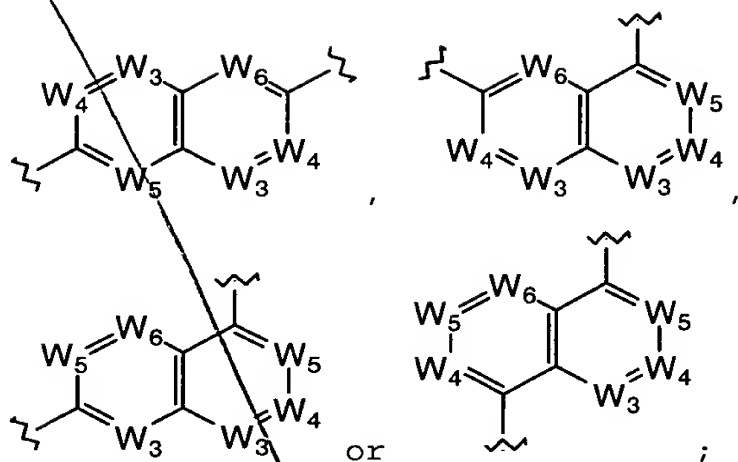
each Alk is independently a C₁-C₈ alkyl radical;

- 25 V represents a radical of formula

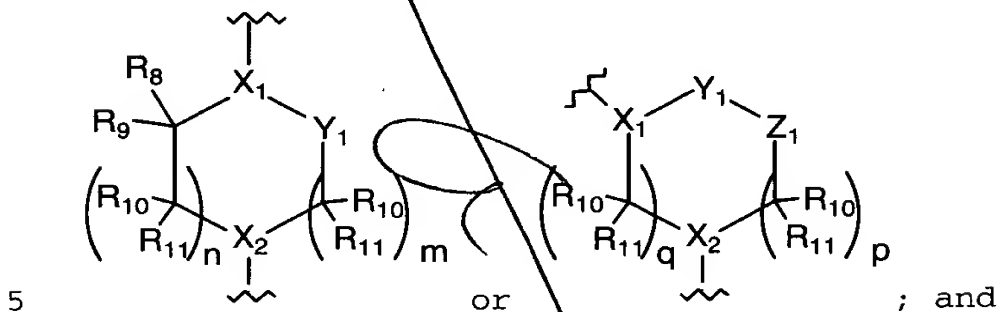


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182



A represents a radical of formula



Y_1 is $-C(O)-$ or $-C(S)-$.

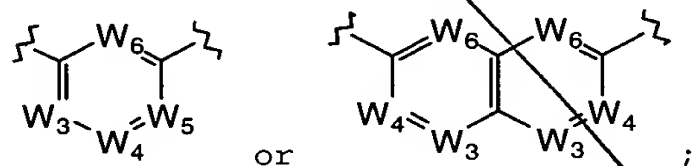
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4. The compound of Claim 3 or a pharmaceutically acceptable salt thereof, wherein

each Alk is independently a C_1-C_6 alkyl radical;

15

V represents a radical of formula



X_2 is C-H or C-(methyl) radical;

Y₁ is -C(O)-; and

Q3
cont
5 R₈, R₉, R₁₀, R₁₁ and R₁₂ are each independently a hydrogen or methyl radical; or -CR₈R₉- represents a -C(O)-.

5. The compound of Claim 4 or a pharmaceutically acceptable salt thereof, wherein

10

each Alk is independently a C₁-C₄ alkyl radical;

U represents amidino, guanidino, -(G-(C₁-C₈ alkyl))_k-NH-R₁, -(G-(C₁-C₈ alkyl))_k-NH-C(Q)-R₁, -(G-(C₁-C₈ alkyl))_k-C(Q)-N(R)-R₁, -(G-(C₁-C₈ alkyl))_k-NH-C(Q)-N(R)-R₁ or -(G-(C₁-C₈ alkyl))_k-NH-C(Q)-O-R₁ radical;

G represents a bond, O or NH;

20 Q represents O, S, NH, N-CN or N-(C₁-C₄ alkyl);

R is a radical of hydrogen or C₁-C₄ alkyl;

R₁ is a radical of C₁-C₆ alkyl, halo(C₁-C₆ alkyl) of 1-5 halo radicals, R₂₁R₂₂N-(C₁-C₆ alkyl), R₂₁O-(C₁-C₆ alkyl), C₃-C₈ cycloalkyl, C₃-C₈ cycloalkyl(C₁-C₆ alkyl), aryl, aryl(C₁-C₆ alkyl), heteroaryl of 5-10 ring members, heteroaryl(C₁-C₆ alkyl) of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl(C₁-C₆ alkyl) of 5-8 ring members, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R₂;

35 R₂₁ and R₂₂ are each independently a radical of hydrogen, C₁-C₈ alkyl, aryl, aryl(C₁-C₄ alkyl), heteroaryl of 5-10 ring members or heteroaryl(C₁-C₄ alkyl) of 5-10 ring

members, wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of R_2 ;

each R_2 is independently a halo, C_1-C_4 alkyl, C_1-C_4 alkoxy, C_1-C_4 alkylthio, halo(C_1-C_2 alkyl) of 1-5 halo radicals, halo(C_1-C_2 alkoxy) of 1-5 halo radicals, hydroxy, carboxy, cyano, azido, amidino, guanidino, nitro, amino, C_1-C_4 alkylamino or di(C_1-C_4 alkyl)amino radical or two adjacent R_2 radicals on an aryl or heteroaryl radical represent a methylenedioxy, ethylenedioxy or propylenedioxy radical;

each W_6 is C-H;

each R_4 is independently a hydrogen, halo, C_1-C_4 alkyl, C_1-C_4 alkoxy, C_1-C_4 alkylthio, halo(C_1-C_2 alkyl) of 1-5 halo radicals, halo(C_1-C_2 alkoxy) of 1-5 halo radicals, hydroxy, cyano, carboxy, $-C(O)-O-R_{19}$, $-C(O)-R_{19}$, $-C(O)-NH-R_{19}$, $-C(O)-N(R_{19})_2$, C_3-C_6 cycloalkyl, C_3-C_6 cycloalkyl(C_1-C_4 alkyl), aryl, aryl(C_1-C_4 alkyl), heteroaryl of 5-10 ring members, heteroaryl(C_1-C_4 alkyl) of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl(C_1-C_4 alkyl) of 5-8 ring members radical, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R_2 ; and

R_{20} is a C_1-C_4 alkyl, aryl or heteroaryl of 5-10 ring members or a C_1-C_4 alkyl radical substituted by 1-3 radicals of halo, hydroxy, carboxy, amino, aryl, heteroaryl of 5-10 ring members or heterocyclyl of 5-8 ring members, wherein the aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R_2 .

6. The compound of Claim 5 or a pharmaceutically stable salt thereof, wherein

U represents amidino, guanidino, $-(G-(C_1-C_8 \text{ alkyl}))_k-NH-$
 5 R_1 , $-NH-C(Q)-R_1$, $-(G-(C_1-C_8 \text{ alkyl}))_k-C(Q)-N(R)-R_1$, $-NH-$
 $C(Q)-N(R)-R_1$ or $-NH-C(Q)-O-R_1$ radical;

~~Q represents 0 or NH;~~

10 R is a radical of hydrogen or C₁-C₄ alkyl;

R₁ is a radical of C₁-C₆ alkyl, halo(C₁-C₆ alkyl) of 1-5 halo radicals, R₂₁R₂₂N-(C₁-C₄ alkyl), R₂₁O-(C₁-C₄ alkyl), C₃-C₈ cycloalkyl, C₃-C₈ cycloalkyl(C₁-C₄ alkyl), aryl, aryl(C₁-C₄ alkyl), heteroaryl of 5-10 ring members, heteroaryl(C₁-C₄ alkyl) of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl(C₁-C₄ alkyl) of 5-8 ring members, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R_i;

R₂₁ and R₂₂ are each independently a radical of hydrogen, C₁-C₆ alkyl, aryl or heteroaryl of 5-10 ring members, wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of R₁;

each R₂ is independently a halo, C₁-C₂ alkyl, C₁-C₂ alkoxy, C₁-C₂ alkylthio, CF₃-, CF₃O-, hydroxy, carboxy, cyano, azido, amidino, guanidino, nitro, amino, C₁-C₂ alkylamino or di(C₁-C₂ alkyl)amino radical or two adjacent R₂ radicals on an aryl or heteroaryl radical represent a methylenedioxy, ethylenedioxy or propylenedioxy radical;

35 each W_2 , W_3 , W_4 and W_5 are independently C-R₄;

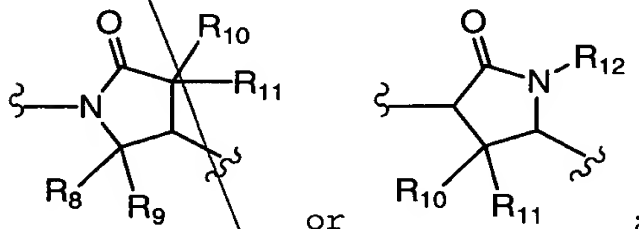
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each R_4 is independently a hydrogen, halo, C_1-C_4 alkyl, C_1-C_4 alkoxy, C_1-C_4 alkylthio, halo(C_1-C_2 alkyl) of 1-5 halo radicals, halo(C_1-C_2 alkoxy) of 1-5 halo radicals, hydroxy or cyano radical;

5

A represents a radical of formula



(a) R_{15} is a hydrogen or C_1-C_2 alkyl radical; and R_{17} is -
 10 $NH-C(O)-R_{19}$, $-NH-C(O)-NH-R_{19}$, $-NH-C(O)-O-R_{19}$, $-NH-S(O)_2-R_{19}$
 or $-NH-S(O)_2-NH-R_{19}$ radical; or (b) R_{17} is a hydrogen or
 C_1-C_2 alkyl radical; and R_{15} is (1) an aryl, heteroaryl
 of 5-10 ring members, C_3-C_8 cycloalkyl or heterocyclyl
 of 5-8 ring members radical, or (2) an C_1-C_2 alkyl
 15 radical substituted by a radical of aryl, heteroaryl of
 5-10 ring members, C_3-C_8 cycloalkyl or heterocyclyl of
 5-8 ring members radical; wherein the cycloalkyl, aryl,
 heteroaryl and heterocyclyl radicals are optionally
 substituted by 1-3 radicals of R_2 ;

20

R_{19} is a C_1-C_4 alkyl, aryl, aryl(C_1-C_4 alkyl), heteroaryl
 of 5-10 ring members or heteroaryl(C_1-C_4 alkyl) of 5-10
 ring members, wherein the aryl and heteroaryl radicals
 are optionally substituted by 1-3 radicals of R_2 ;

25

R_{16} and R_{18} are each independently a hydrogen or C_1-C_4
 alkyl radical;

E is a radical of carboxy, amido, tetrazolyl or $-C(O)-$
 30 $O-R_{20}$; and

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~~R_{20} is a C_1 - C_2 alkyl, aryl or heteroaryl of 5-10 ring members or a C_1 - C_2 alkyl radical substituted by 1-3 radicals of halo, hydroxy, carboxy, aryl or heteroaryl of 5-10 ring members, wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of R_2 .~~

7. The compound of Claim 6 or a pharmaceutically acceptable salt thereof, wherein

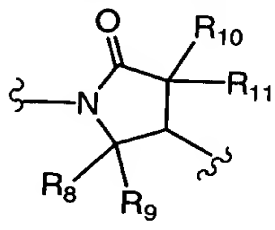
Alk is independently a C_1 - C_2 alkyl radical;

G represents a bond or NH;

R_{21} and R_{22} are each independently a radical of hydrogen, C_1 - C_6 alkyl or aryl, wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of R_2 ;

each R_4 is independently a hydrogen, halo, C_1 - C_2 alkyl, C_1 - C_2 alkoxy, C_1 - C_2 alkylthio, CF_3 -, CF_3O -, hydroxy or cyano radical;

A represents a radical of formula



(a) R_{15} is a hydrogen or C_1 - C_2 alkyl radical; and R_{17} is $-NH-C(O)-O-R_{19}$ or $-NH-S(O)_2-R_{19}$ radical; or (b) R_{17} is a hydrogen or C_1 - C_2 alkyl radical; and R_{15} is (1) an aryl or heteroaryl of 5-10 ring members, or (2) an C_1 - C_2

alkyl radical substituted by a radical of aryl or heteroaryl of 5-10 ring members; wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of R_2 ;

5

R_1 is a C_1 - C_4 alkyl, aryl or aryl(C_1 - C_4 alkyl), wherein the aryl radicals are optionally substituted by 1-3 radicals of R_2 ;

10 R_{16} and R_{18} are each independently a hydrogen or C_1 - C_2 alkyl radical;

E is a radical of carboxy or $-C(O)-O-R_{20}$; and

15 R_{20} is a C_1 - C_2 alkyl, aryl or aryl(C_1 - C_2 alkyl) radical, wherein the aryl radicals are optionally substituted by 1-3 radicals of R_2 .

20 8. A pharmaceutical composition comprising a compound according to any of Claims 1 to 7 and a pharmaceutically acceptable carrier.

25 9. A method for the treatment of a disease or disorder modulated by an integrin receptor comprising administering an effective amount of a compound according to any of Claims 1 to 7.

30 10. The method of Claim 9 wherein the integrin receptor is vitronectin receptor $\alpha_v\beta_3$, $\alpha_v\beta_5$ or $\alpha_v\beta_6$.

35 11. A method for the treatment of a disease or disorder modulated by an integrin receptor comprising administering an effective amount of a composition of Claim 8.

12. The method of Claim 11 wherein the an integrin receptor is vitronectin receptor $\alpha_v\beta_3$, $\alpha_v\beta_5$ or $\alpha_v\beta_6$.

5 13. A method of antagonizing an integrin receptor comprising administering an effective amount of a compound according to any of Claims 1 to 7.

10 14. The method of Claim 13 wherein the an integrin receptor is vitronectin receptor $\alpha_v\beta_3$, $\alpha_v\beta_5$ or $\alpha_v\beta_6$.

15 15. A method of antagonizing an integrin receptor comprising administering an effective amount of a composition of Claim 8.

16. The method of Claim 15 wherein the an integrin receptor is vitronectin receptor $\alpha_v\beta_3$, $\alpha_v\beta_5$ or $\alpha_v\beta_6$.

20 17. A method for the treatment of atherosclerosis, restenosis, inflammation, wound healing, cancer, metastasis, bone resorption related diseases, diabetic retinopathy, macular degeneration, angiogenesis or viral infections comprising administering an effective amount of a compound
25 according to any of Claims 1 to 7.

30 18. A method for the treatment of atherosclerosis, restenosis, inflammation, wound healing, cancer, metastasis, bone resorption related diseases, diabetic retinopathy, macular degeneration, angiogenesis or viral infections comprising administering an effective amount of a composition of Claim 8.

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